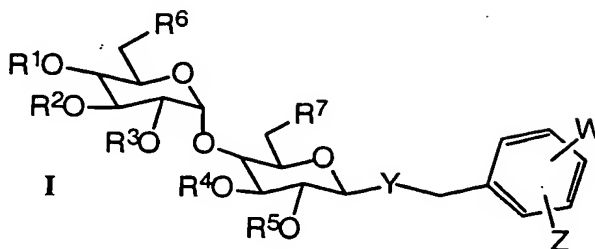


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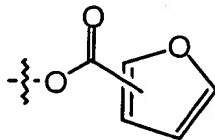
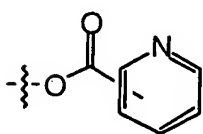
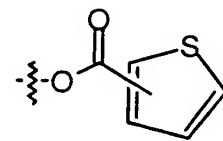
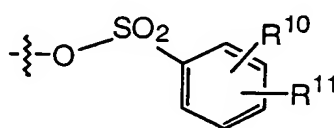
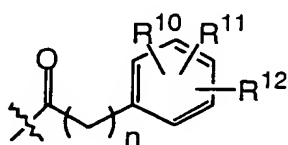
**WHAT IS CLAIMED IS:**

1. A compound of formula I having the structure

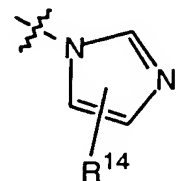


- 5 wherein

- $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  are each, independently, hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;
- 10  $R^6$  and  $R^7$  are each, independently, -OH, -OR<sup>9</sup>, O-tert-butyldimethylsilyl, O-trialkylsilyl of 1-6 carbon atoms per alkyl moiety, O-triphenylsilyl,



, or



;

- 15  $R^8$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each, independently, hydrogen, -CN, -NO<sub>2</sub>, halogen, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, acetyl, benzoyl, or alkoxy of 1-6 carbon atoms;
- $R^9$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

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Y is O, S, NH, NMe, or CH<sub>2</sub>;

W is halogen, -CN, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, nitroalkyl of 1-6 carbon atoms, cyanoalkyl of 1-6 carbon atoms, alkoxyalkyl of 2-12 carbon atoms, alkoxy of 1-6 carbon atoms, or phenyl mono-, di-, or tri-substituted with R<sup>8</sup>;

Z is -NO<sub>2</sub>, -NH<sub>2</sub>, -NHR<sup>13</sup>, or -NHCO-Het;

R<sup>13</sup> is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl in which the phenyl moiety is substituted with R<sup>8</sup>, or

10 R<sup>13</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

Het is pyridyl substituted with R<sup>8</sup>, thienyl substituted with R<sup>8</sup>, furyl substituted with R<sup>8</sup>, oxazolyl substituted with R<sup>8</sup>, pyrazinyl substituted with R<sup>8</sup>, pyrimidinyl substituted with R<sup>8</sup>, or thiazolyl substituted with R<sup>8</sup>;

R<sup>14</sup> is R<sup>8</sup>, -NH<sub>2</sub>, -CO<sub>2</sub>H, or -NH-acyl of 2-7 carbon atoms;

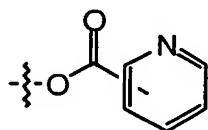
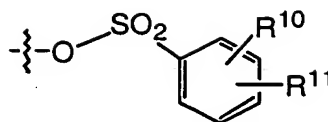
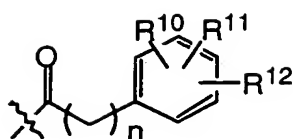
n = 0-3;

with the proviso that when Z is -NHR<sup>13</sup> and Y is O, at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> is hydrogen, or at least one of R<sup>6</sup> and R<sup>7</sup> is OH, or a pharmaceutically acceptable salt thereof.

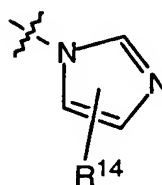
2. The compound according to claim 1, wherein  
wherein

25 R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are each, independently, hydrogen or acyl of 2-7 carbon;  
R<sup>6</sup> and R<sup>7</sup> are each, independently, -OH, -OR<sup>9</sup>, O-tert-butyldimethylsilyl,

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, or



- R<sup>9</sup> is acyl of 2-7 carbon atoms, or benzoyl in which the phenyl moiety is substituted with R<sup>8</sup>;
- 5 Y is O or S; and
- R<sup>13</sup> is acyl of 2-7 carbon atoms, or benzoyl in which the phenyl moiety is substituted with R<sup>8</sup>, or
- R<sup>13</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains
- 10 from 1-6 carbon atoms.
3. The compound of claim 1 which is:
- 15 a) 4-Chloro-3-nitro-benzyl-  $\beta$ -D-maltoside heptaacetate or a pharmaceutically acceptable salt thereof;
- b) N-{5-[(Hepta-O-acetyl- $\beta$ -D-maltosyloxy)-methyl]-2-chloro-phenyl}-L-aspartamide- $\gamma$ -*tert*-butyl ester or a pharmaceutically
- 20 acceptable salt thereof;

- 43 -

- c) *N*-{2-Chloro-5-[(2,2',3,3',4',6,6')-hepta-*O*-acetyl- $\beta$ -D-maltosyl-oxymethyl]-phenyl}-(9*H*-fluoren-9-ylmethoxycarbonyl)-L-alaninamide or a pharmaceutically acceptable salt thereof;
- 5 d) 4-Benzoyl-*N*-{2-chloro-5-[(2,2',3,3',4',6,6')-hepta-*O*-acetyl- $\beta$ -D-maltosyl)-oxy-methyl]- phenyl}-benzamide or a pharmaceutically acceptable salt thereof;
- 10 e) (4-Chloro-3-nitro-benzyl) -hepta-*O*-acetyl-1-thio- $\beta$ -D-maltoside or a pharmaceutically acceptable salt thereof;
- f) (3-Amino-4-chloro-benzyl) hepta-*O*-acetyl-1-thio- $\beta$ -D-maltoside or a pharmaceutically acceptable salt thereof;
- 15 g) *N*-{2-chloro-5-[ hepta-*O*-acetyl- $\beta$ -D-maltosyl-1-thio)-methyl]-phenyl}-acetamide or a pharmaceutically acceptable salt thereof;
- h) 5-[(Hepta-*O*-acetyl- $\beta$ -D-maltosyl)-oxy-methyl]-2-cyano-1-nitrobenzene or a pharmaceutically acceptable salt thereof.
- 20 i) *N*-[2-Chloro-5-( $\beta$ -D-maltosyl-oxymethyl)-phenyl]-acetamide or a pharmaceutically acceptable salt thereof;
- 25 j) *N*-{5-[6,6'-Di-*O*-(*tert*-butyl-dimethyl-silyl)- $\beta$ -D-maltosyloxy-methyl]-2-methyl-phenyl}- acetamide or a pharmaceutically acceptable salt thereof;
- k) *N*-{2-Chloro-5-[6,6'-di-*O*-(*tert*-butyl-dimethyl-silyl)- $\beta$ -D-maltosyloxy-methyl]-phenyl}- acetamide or a pharmaceutically acceptable salt thereof;
- 30

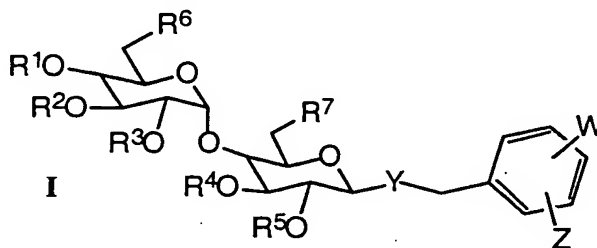
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- 1) *N*-{2-Chloro-5-[[[6,6'-di-*O*-benzoyl- $\beta$ -D-maltosyl]oxy)methyl]phenyl}-acetamide or a pharmaceutically acceptable salt thereof;
- 5 m) *N*-{2-Chloro-5-[[[6,6'-di-*O*-benzoyl-2,2',3,3',4'-penta-acetyl- $\beta$ -D-maltosyl]oxy)-methyl]phenyl}-acetamide or a pharmaceutically acceptable salt thereof;
- 10 n) (4-Chloro-3-nitrophenyl)methyl-4-*O*-[6-*O*-(3-pyridinylcarbonyl)- $\alpha$ -D-glucopyranosyl]- $\beta$ -D-glucopyranoside-6-(3-pyridinecarboxylate) or a pharmaceutically acceptable salt thereof;
- 15 o) (4-Chloro-3-nitrophenyl)methyl-4-*O*-[6-*O*-(3-pyridinylcarbonyl)- $\alpha$ -D-glucopyranosyl]- $\beta$ -D-glucopyranoside or a pharmaceutically acceptable salt thereof;
- 20 p) *N*-[2-Chloro-5-[[[4-*O*- $\alpha$ -D-glucopyranosyl]- $\beta$ -D-glucopyranosyl]oxy)methyl]phenyl]-3-pyridinecarboxamide or a pharmaceutically acceptable salt thereof;
- 25 q) Benzoic acid 6-{4-chloro-3-[(pyridine-3-carbonyl)-amino]-benzyloxy}-4,5-dihydroxy-3-(3,4,5-trihydroxy-6-hydroxymethyl-tetrahydro-pyran-2-yl)-tetrahydro-pyran-2-ylmethyl ester or a pharmaceutically acceptable salt thereof;
- 30 r) (4-Chloro-3-nitro-benzyl)-1-deoxy-1-thio- $\beta$ -D-maltoside or a pharmaceutically acceptable salt thereof;
- s) *N*-{2-chloro-5-[ $\beta$ -D-maltosyl-1-thio)-methyl]-phenyl}-acetamide or a pharmaceutically acceptable salt thereof;

- 45 -

- t) 5-{{[6,6'-Bis-*O*-(4-toluenesulfonyl)-  $\beta$ -maltosyl]-oxy-methyl}-  
 2-methyl-1-nitrobenzene  
 u) or a pharmaceutically acceptable salt thereof;
- 5 v) 5-{{[2,2',3,3',4'-Penta-*O*-acetyl-6,6'-bis-*O*-(4-toluenesulfonyl)-  
 $\beta$ -maltosyl]-oxy-methyl}- 2-methyl-1-nitrobenzene or a  
 pharmaceutically acceptable salt thereof;
- 10 w) 5-{{[6,6'-Dideoxy-6,6'-bis(4-nitro-imidazol-1-yl)-  $\beta$ -maltosyl]-  
 oxy-methyl}-2-methyl-1- nitrobenzene or a pharmaceutically  
 acceptable salt thereof; or
- 15 x) 5-{{[2,2',3,3',4'-Penta-*O*-acetyl-6,6'-dideoxy-6,6'-bis(4-nitro-  
 imidazol-1-yl)-  $\beta$ -maltosyl]- oxy-methyl}-2-methyl-1-nitrobenzene or  
 a pharmaceutically acceptable salt thereof.

4. A method of treating or inhibiting hyperproliferative vascular disorders in a  
 mammal in need thereof, which comprises administering to said mammal an effective  
 20 amount of a compound of formula I having the structure

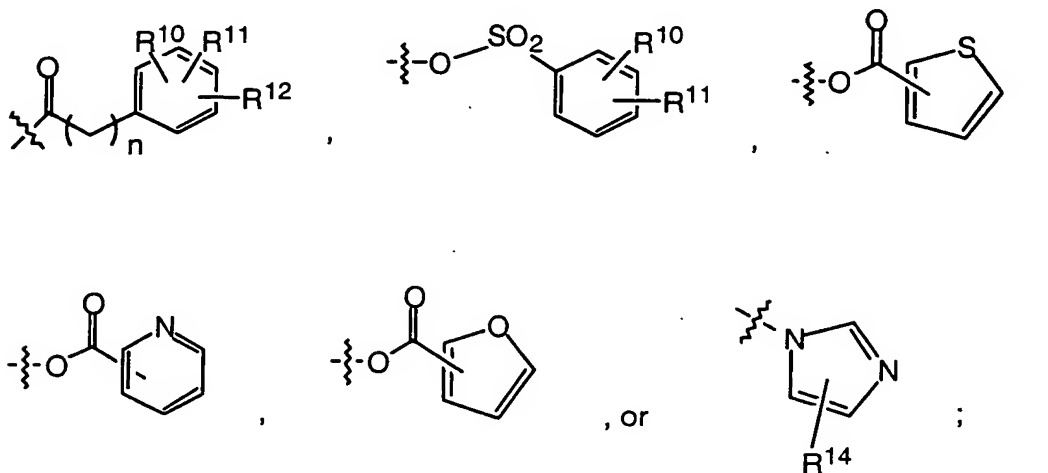


wherein

25  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  are each, independently, hydrogen, acyl of 2-7 carbon atoms,  
 haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-  
 7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which  
 the phenyl moiety is substituted with  $R^8$ ;

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$R^6$  and  $R^7$  are each, independently, -OH, -OR<sup>9</sup>, O-tert-butyldimethylsilyl, O-trialkylsilyl of 1-6 carbon atoms per alkyl moiety, O-triphenylsilyl,



5

$R^8$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each, independently, hydrogen, -CN, -NO<sub>2</sub>, halogen, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, acetyl, benzoyl, or alkoxy of 1-6 carbon atoms;

$R^9$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

10

Y is O, S, NH, NMe, or CH<sub>2</sub>;

W is halogen, -CN, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, nitroalkyl of 1-6 carbon atoms, cyanoalkyl of 1-6 carbon atoms, alkoxyalkyl of 2-12 carbon atoms, alkoxy of 1-6 carbon atoms, or phenyl mono-, di-, or tri-substituted with  $R^8$ ;

15

Z is -NO<sub>2</sub>, -NH<sub>2</sub>, -NHR<sup>13</sup>, or -NHCO-Het;

$R^{13}$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl in which the phenyl moiety is substituted with  $R^8$ , or

20  $R^{13}$  is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the

- 47 -

non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

Het is pyridyl substituted with  $R^8$ , thienyl substituted with  $R^8$ , furyl substituted with  $R^8$ , oxazolyl substituted with  $R^8$ , pyrazinyl substituted with  $R^8$ , pyrimidinyl substituted with  $R^8$ , or thiazolyl substituted with  $R^8$ ;

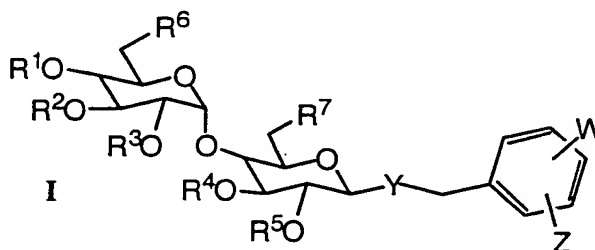
$R^{14}$  is  $R^8$ ,  $-NH_2$ ,  $-CO_2H$ , or  $-NH$ -acyl of 2-7 carbon atoms;

$n = 0-3$ ;

with the proviso that when Z is  $-NHR^{13}$  and Y is O, at least one of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  is hydrogen, or at least one of  $R^6$  and  $R^7$  is OH, or a pharmaceutically acceptable salt thereof.

5. A method of treating or inhibiting restenosis in a mammal in need thereof, which comprises administering to said mammal an effective amount of a compound of formula I having the structure

15



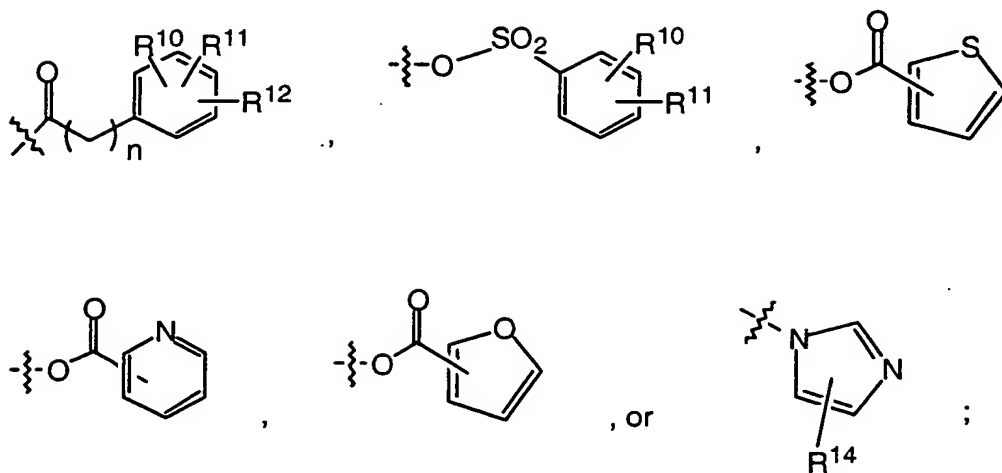
wherein

$R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  are each, independently, hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

$R^6$  and  $R^7$  are each, independently,  $-OH$ ,  $-OR^9$ , O-tert-butyldimethylsilyl, O-trialkylsilyl of 1-6 carbon atoms per alkyl moiety, O-triphenylsilyl,



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$R^8$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each, independently, hydrogen, -CN, -NO<sub>2</sub>, halogen, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, acetyl, benzoyl, or alkoxy of 1-6 carbon atoms;

5  $R^9$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

Y is O, S, NH, NMe, or CH<sub>2</sub>;

10 W is halogen, -CN, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, nitroalkyl of 1-6 carbon atoms, cyanoalkyl of 1-6 carbon atoms, alkoxyalkyl of 2-12 carbon atoms, alkoxy of 1-6 carbon atoms, or phenyl mono-, di-, or tri-substituted with  $R^8$ ;

Z is -NO<sub>2</sub>, -NH<sub>2</sub>, -NHR<sup>13</sup>, or -NHCO-Het;

15  $R^{13}$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl in which the phenyl moiety is substituted with  $R^8$ , or

20  $R^{13}$  is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

- 49 -

Het is pyridyl substituted with R<sup>8</sup>, thienyl substituted with R<sup>8</sup>, furyl substituted with R<sup>8</sup>, oxazolyl substituted with R<sup>8</sup>, pyrazinyl substituted with R<sup>8</sup>, pyrimidinyl substituted with R<sup>8</sup>, or thiazolyl substituted with R<sup>8</sup>;

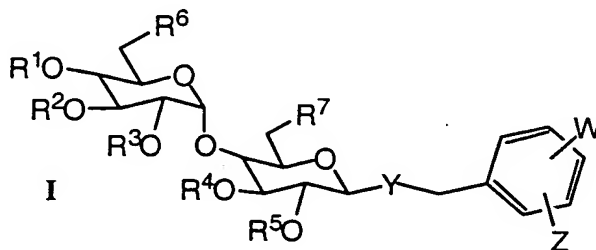
R<sup>14</sup> is R<sup>8</sup>, -NH<sub>2</sub>, -CO<sub>2</sub>H, or -NH-acyl of 2-7 carbon atoms;

5 n = 0-3;

with the proviso that when Z is -NHR<sup>13</sup> and Y is O, at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> is hydrogen, or at least one of R<sup>6</sup> and R<sup>7</sup> is OH, or a pharmaceutically acceptable salt thereof.

10 6. The method according to claim 5, wherein the restenosis results from a vascular angioplasty procedure, vascular reconstructive surgery, or organ or tissue transplantation.

15 7. A method of inhibiting angiogenesis in a malignant tumor, sarcoma, or neoplastic tissue in a mammal in need thereof, which comprises administering to said mammal an effective amount of a compound of formula I having the structure

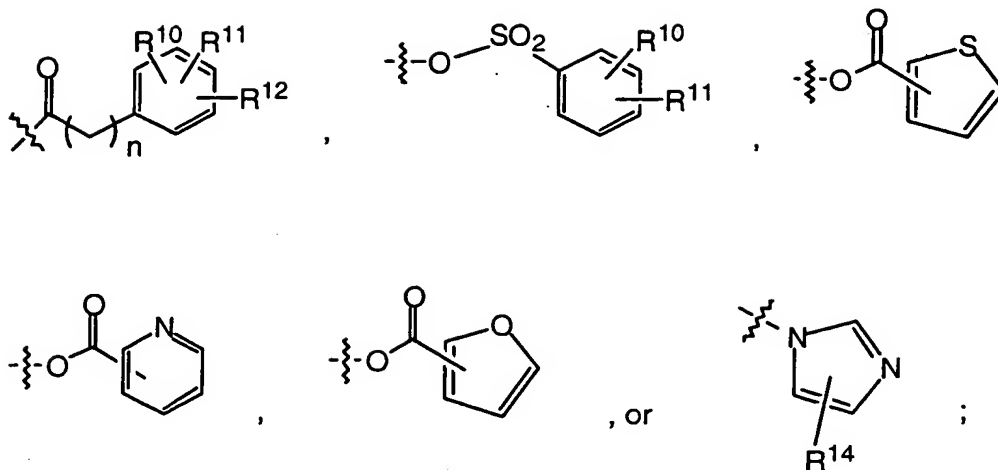


wherein

20 R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are each, independently, hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with R<sup>8</sup>;

R<sup>6</sup> and R<sup>7</sup> are each, independently, -OH, -OR<sup>9</sup>, O-tert-butyldimethylsilyl, O-  
25 trialkylsilyl of 1-6 carbon atoms per alkyl moiety, O-triphenylsilyl,

- 50 -



- $R^8$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each, independently, hydrogen, -CN, -NO<sub>2</sub>, halogen, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, acetyl, benzoyl, or alkoxy of 1-6 carbon atoms;
- 5  $R^9$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;
- Y is O, S, NH, NMe, or CH<sub>2</sub>;
- W is halogen, -CN, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms,
- 10 nitroalkyl of 1-6 carbon atoms, cyanoalkyl of 1-6 carbon atoms, alkoxyalkyl of 2-12 carbon atoms, alkoxy of 1-6 carbon atoms, or phenyl mono-, di-, or tri-substituted with  $R^8$ ;
- Z is -NO<sub>2</sub>, -NH<sub>2</sub>, -NHR<sup>13</sup>, or -NHCO-Het;
- 15  $R^{13}$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl in which the phenyl moiety is substituted with  $R^8$ , or
- $R^{13}$  is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains
- 20 from 1-6 carbon atoms;

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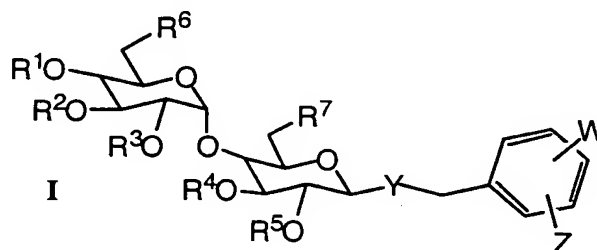
Het is pyridyl substituted with  $R^8$ , thienyl substituted with  $R^8$ , furyl substituted with  $R^8$ , oxazolyl substituted with  $R^8$ , pyrazinyl substituted with  $R^8$ , pyrimidinyl substituted with  $R^8$ , or thiazolyl substituted with  $R^8$ ;

$R^{14}$  is  $R^8$ ,  $-NH_2$ ,  $-CO_2H$ , or  $-NH$ -acyl of 2-7 carbon atoms;

5  $n = 0-3$ ;

with the proviso that when Z is  $-NHR^{13}$  and Y is O, at least one of  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  is hydrogen, or at least one of  $R^6$  and  $R^7$  is OH, or a pharmaceutically acceptable salt thereof.

10 8. A pharmaceutical composition which comprises a compound of formula I having the structure

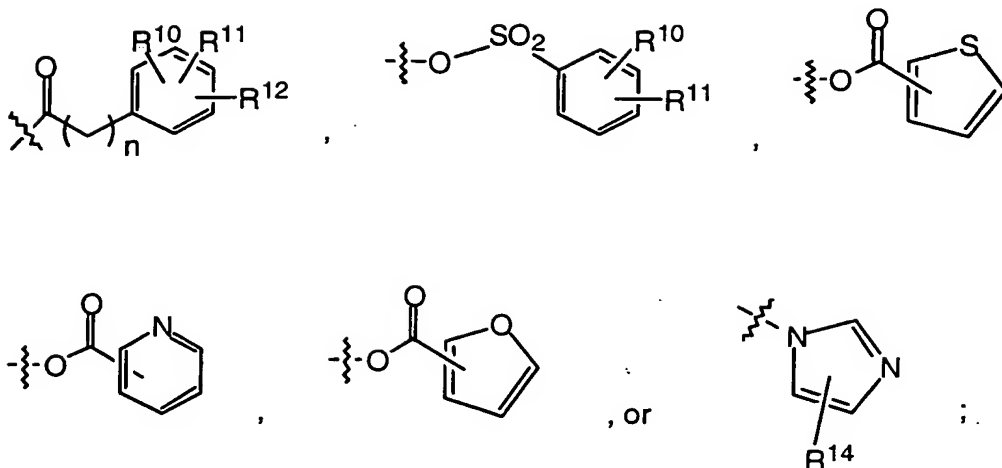


wherein

15  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , and  $R^5$  are each, independently, hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

20  $R^6$  and  $R^7$  are each, independently,  $-OH$ ,  $-OR^9$ , O-tert-butyldimethylsilyl, O-trialkylsilyl of 1-6 carbon atoms per alkyl moiety, O-triphenylsilyl,

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$R^8$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$  are each, independently, hydrogen, -CN, -NO<sub>2</sub>, halogen, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, acetyl, benzoyl, or alkoxy of 1-6 carbon atoms;

- 5  $R^9$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl in which the phenyl moiety is substituted with  $R^8$ ;

Y is O, S, NH, NMe, or CH<sub>2</sub>;

- W is halogen, -CN, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, haloalkyl of 1-6 carbon atoms, 10 nitroalkyl of 1-6 carbon atoms, cyanoalkyl of 1-6 carbon atoms, alkoxyalkyl of 2-12 carbon atoms, alkoxy of 1-6 carbon atoms, or phenyl mono-, di-, or tri-substituted with  $R^8$ ;

Z is -NO<sub>2</sub>, -NH<sub>2</sub>, -NHR<sup>13</sup>, or -NHCO-Het;

- 15  $R^{13}$  is acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl in which the phenyl moiety is substituted with  $R^8$ , or

$R^{13}$  is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of Z, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains 20 from 1-6 carbon atoms;

- 53 -

Het is pyridyl substituted with R<sup>8</sup>, thienyl substituted with R<sup>8</sup>, furyl substituted with R<sup>8</sup>, oxazolyl substituted with R<sup>8</sup>, pyrazinyl substituted with R<sup>8</sup>, pyrimidinyl substituted with R<sup>8</sup>, or thiazolyl substituted with R<sup>8</sup>;

R<sup>14</sup> is R<sup>8</sup>, -NH<sub>2</sub>, -CO<sub>2</sub>H, or -NH-acyl of 2-7 carbon atoms;

5 n = 0-3;

with the proviso that when Z is -NHR<sup>13</sup> and Y is O, at least one of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> is hydrogen, or at least one of R<sup>6</sup> and R<sup>7</sup> is OH, or a pharmaceutically acceptable salt thereof, and a pharmaceutical carrier.